

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
12 August 2004 (12.08.2004)

PCT

(10) International Publication Number
WO 2004/068116 A2

(51) International Patent Classification⁷: G01N

(21) International Application Number: PCT/US2004/000425

(22) International Filing Date: 9 January 2004 (09.01.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/440,840	17 January 2003 (17.01.2003)	US
60/440,838	17 January 2003 (17.01.2003)	US
10/379,965	4 March 2003 (04.03.2003)	US

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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

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- of inventorship (Rule 4.17(iv)) for US only

Published:

- without international search report and to be republished upon receipt of that report

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(54) Title: RECEPTOR DEORPHANIZATION USING TAGGED MOLECULAR LIBRARIES

(57) Abstract: The present invention provides a method of screening test compounds and test conditions for the ability to modulate (activate or inhibit, enhance or depress) a GPCR pathway, and provides methods of assessing GPCR pathway function, such as the function of an orphan GPCR, in a cell in general. In another aspect of the present method, lipophilic photosensitizers are attached to the cellular membranes. A candidate ligand or a library of candidate ligands can be attached to a molecular, after which the ligand is allowed to bind to the receptor. After excitation of the photosensitizer with a light source, the cleavable linker is cleaved releasing the molecular tag. The released molecular tag can be detected in the extracellular fluid, as detailed above, which provides information on the structure of the ligand for the GPCR.

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